

=> fil hcaplus
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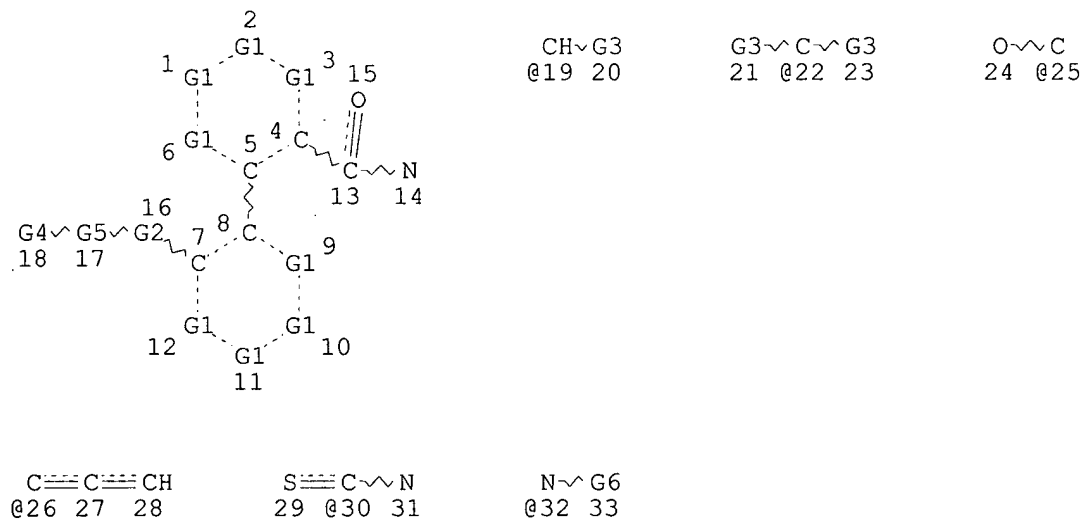
FILE COVERS 1907 - 26 Oct 2002 VOL 137 ISS 18
 FILE LAST UPDATED: 25 Oct 2002 (20021025/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

CAS roles have been modified effective December 16, 2001. Please check your SDI profiles to see if they need to be revised. For information on CAS roles, enter HELP ROLES at an arrow prompt or use the CAS Roles thesaurus (/RL field) in this file.

=>
 =>

=> d stat que 17
 L1 STR



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 VAR G4=25/SO2/30
 VAR G5=NH/32
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 DEFAULT MLEVEL IS ATOM

DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:

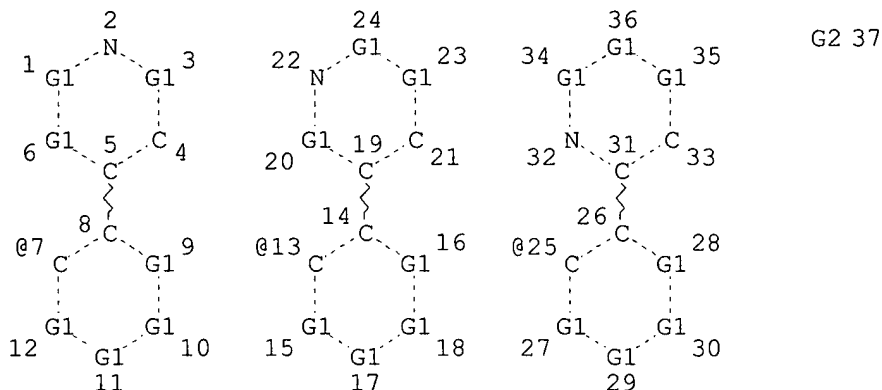
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NUMBER OF NODES IS 33

STEREO ATTRIBUTES: NONE

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L7 1 SEA FILE=HCAPLUS ABB=ON PLU=ON L6

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L7 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2002 ACS

ACCESSION NUMBER: 2002:449654 HCAPLUS

DOCUMENT NUMBER: 137:20388

TITLE: Preparation of ortho-substituted nitrogen containing bisaryl compounds as potassium channel blockers

INVENTOR(S): Peukert, Stefan; Brendel, Joachim; Hemmerle, Horst; Kleemann, Heinz-Werner

PATENT ASSIGNEE(S): Aventis Pharma Deutschland G.m.b.H., Germany

SOURCE: PCT Int. Appl., 69 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

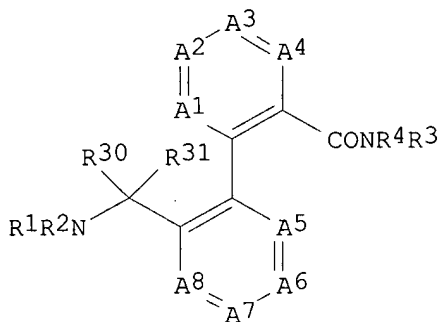
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WO 2002046162	A1	20020613	WO 2001-EP13680	20011124

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LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
 PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA,
 UG, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
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DE 10060807 A1 20020620 DE 2000-10060807 20001207
 AU 2002021892 A5 20020618 AU 2002-21892 20011124
 PRIORITY APPLN. INFO.: DE 2000-10060807 A 20001207
 WO 2001-EP13680 W 20011124

OTHER SOURCE(S): MARPAT 137:20388
 GI



AB Title compds. [I; A1-A8 = N, CH, CR5; whereby >1 of A1-A8 = N and >4 of A1-A8 = CH; R1 = CO2R9, SO2R10, COR11, C(O)NR12R13, C(S)NR12R13; R9-R12 = CxH2xR14; x = 0-4; R14 = alkyl, cycloalkyl, CF3, C2F5, C3F7, CH2F, CHF2, OR15, SO2Me, (substituted) Ph, naphthyl, etc.; R15 = alkyl, cycloalkyl, (substituted) Ph; R13 = H, alkyl, CF3; R2 = H, alkyl, CF3; R3 = CyH2yR16, etc.; y = 0-4; R16 = alkyl, cycloalkyl, CF3, C2F5, C3F7, CH2F, CHF2, OR17, SO2Me, (substituted) Ph, naphthyl, etc.; R17 = H, alkyl, cycloalkyl, (substituted) Ph, pyridyl; R4 = H, alkyl, CF3; or R3R4 = (O-, S-, NH-, N(methyl)-, N(benzyl)-interrupted) C4-5 alkylene; R5 = F, Cl, Br, I, CF3, NO2, cyano, CO2Me, COMe, amino, OH, alkyl, alkoxy, etc.; R30, R31 = H, alkyl; or R30R31 = C2 alkylene], were prepd. The most prepd. compds. were phenylpyridines and phenylpyrazines. Thus, a mixt. of 1-[3-(2-aminomethylphenyl)pyridin-2-yl]-N-(cyclopropylmethyl)amide, diisopropylethylamine, (R)-3-phenylbutyric acid, and tetramethylfluoroamidinium hexafluorophosphate (TFFH) was stirred overnight to give 77% 1-(3-[2-(R)-[(3-phenylbutyrylamino)methyl]phenyl]pyridin-2-yl)-N-(cyclopropylamino)amide. The latter inhibited Kv1.5 human channel with IC50 = 0.4 .mu.M.

IT 434319-47-8P 434319-48-9P 434319-49-0P
 434319-51-4P 434319-53-6P 434319-54-7P
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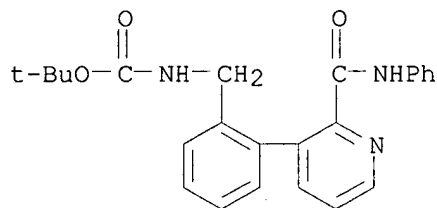
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RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)

(prepn. of ortho-substituted nitrogen contg. bisaryl compds. as
 potassium channel blockers)

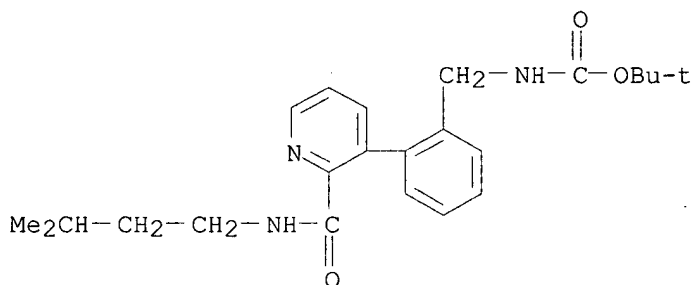
RN 434319-47-8 HCAPLUS

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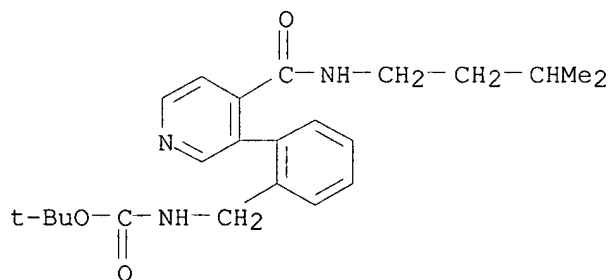
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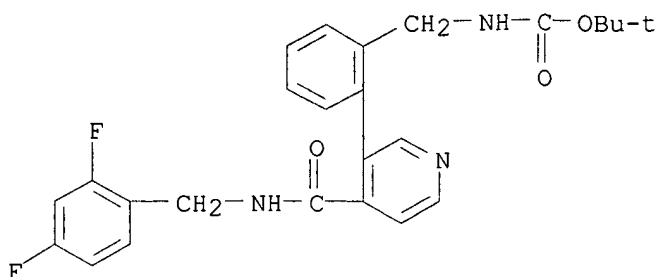
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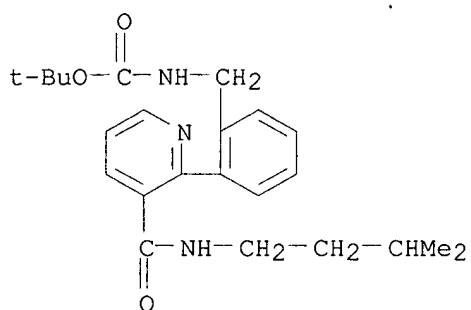


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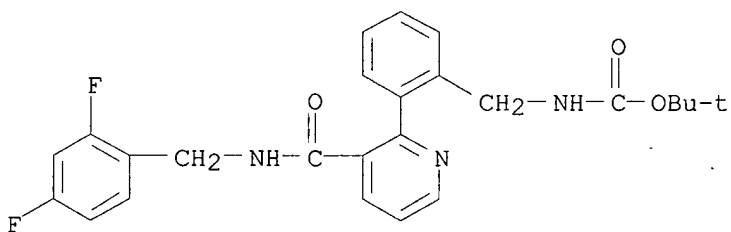
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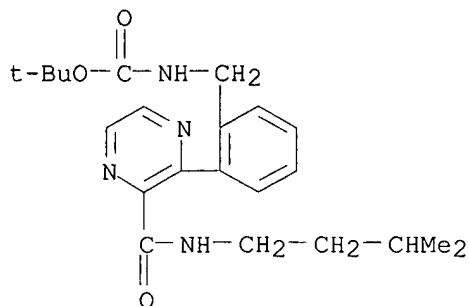
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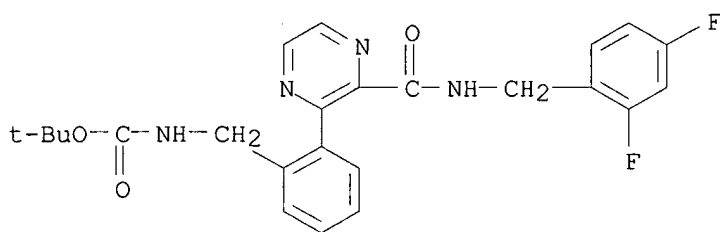
RN 434319-54-7 HCAPLUS
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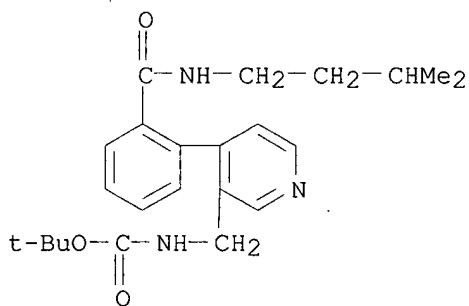
RN 434319-56-9 HCAPLUS
 CN Carbamic acid, [[2-[3-[[[(3-methylbutyl)amino]carbonyl]pyrazinyl]phenyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 434319-57-0 HCAPLUS
 CN Carbamic acid, [[2-[3-[[[(2,4-difluorophenyl)methyl]amino]carbonyl]pyrazinyl]phenyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



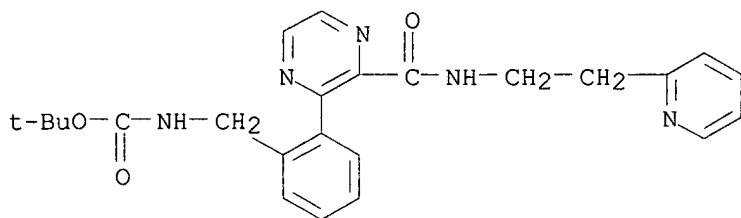
RN 434319-59-2 HCAPLUS
 CN Carbamic acid, [[4-[2-[[[(3-methylbutyl)amino]carbonyl]phenyl]-3-pyridinyl]methyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 434319-66-1 HCAPLUS
 CN Carbamic acid, [[2-[3-[[[2-(2-pyridinyl)ethyl]amino]carbonyl]pyrazinyl]phenyl]methyl]-, 1,1-dimethylethyl ester, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

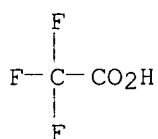
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CM 2

CRN 76-05-1

CMF C2 H F3 O2



RN 434319-68-3 HCAPLUS

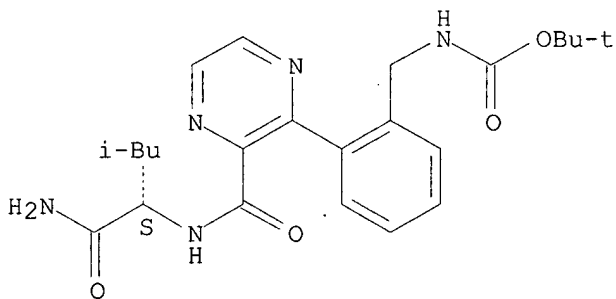
CN Carbamic acid, [[2-[3-[[[(1S)-1-(aminocarbonyl)-3-methylbutyl]amino]carbonyl]pyrazinyl]phenyl]methyl]-, 1,1-dimethylethyl ester, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 434319-67-2

CMF C23 H31 N5 O4

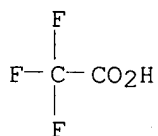
Absolute stereochemistry.



CM 2

CRN 76-05-1

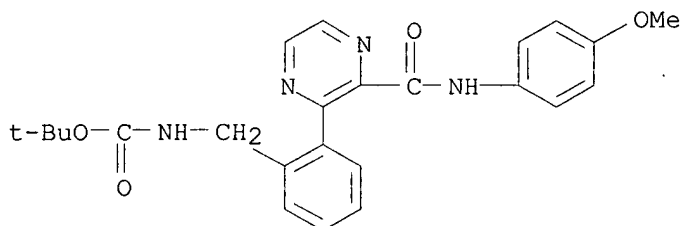
CMF C2 H F3 O2



RN 434319-70-7 HCAPLUS
 CN Carbamic acid, [[2-[3-[[[4-methoxyphenyl]amino]carbonyl]pyrazinyl]phenyl]methyl]-, 1,1-dimethylethyl ester, trifluoroacetate (9CI) (CA INDEX NAME)

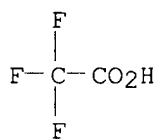
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CRN 434319-69-4
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CM 2

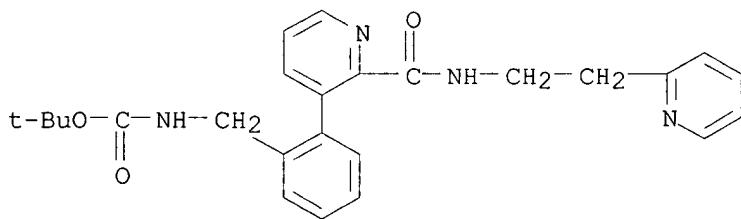
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RN 434319-72-9 HCAPLUS
 CN Carbamic acid, [[2-[2-[[[2-(2-pyridinyl)ethyl]amino]carbonyl]-3-pyridinyl]phenyl]methyl]-, 1,1-dimethylethyl ester, trifluoroacetate (9CI) (CA INDEX NAME)

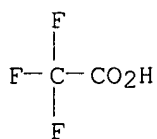
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CRN 434319-71-8
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CM 2

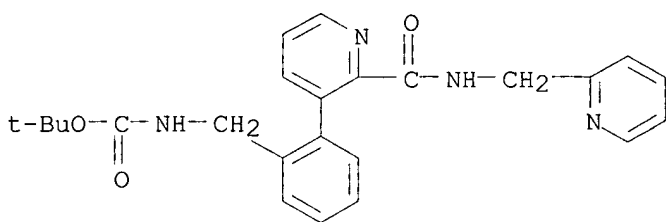
CRN 76-05-1
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RN 434319-74-1 HCAPLUS
 CN Carbamic acid, [[2-[2-[[2-(pyridinylmethyl)amino]carbonyl]-3-pyridinyl]phenyl]methyl]-, 1,1-dimethylethyl ester, trifluoroacetate (9CI)
 (CA INDEX NAME)

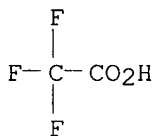
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CRN 434319-73-0
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CM 2

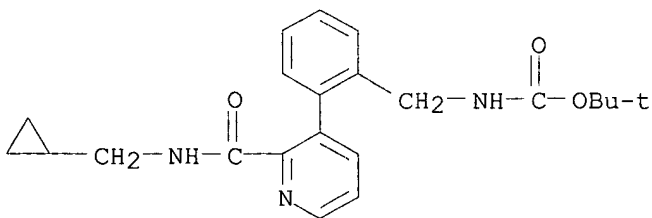
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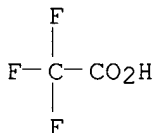
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 CN Carbamic acid, [[2-[2-[[2-(cyclopropylmethyl)amino]carbonyl]-3-pyridinyl]phenyl]methyl]-, 1,1-dimethylethyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

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CRN 434319-75-2
 CMF C22 H27 N3 O3



CM 2

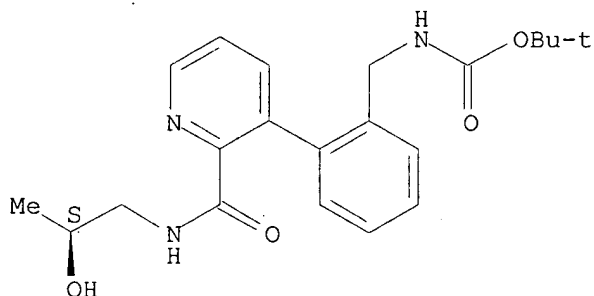
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CMF C2 H F3 O2

RN 434319-78-5 HCAPLUS
 CN Carbamic acid, [[2-[2-[[[(2S)-2-hydroxypropyl]amino]carbonyl]-3-pyridinyl]phenyl]methyl]-, 1,1-dimethylethyl ester, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

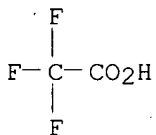
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CRN 434319-77-4
CMF C21 H27 N3 O4

Absolute stereochemistry.



CM 2

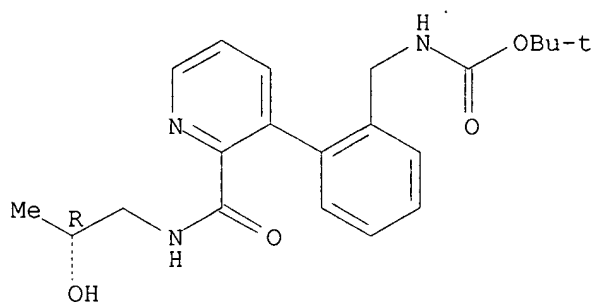
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CMF C2 H F3 O2

RN 434319-80-9 HCAPLUS
 CN Carbamic acid, [[2-[2-[[[(2R)-2-hydroxypropyl]amino]carbonyl]-3-pyridinyl]phenyl]methyl]-, 1,1-dimethylethyl ester, mono(trifluoroacetate) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 434319-79-6
CMF C21 H27 N3 O4

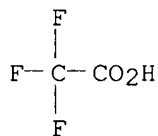
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



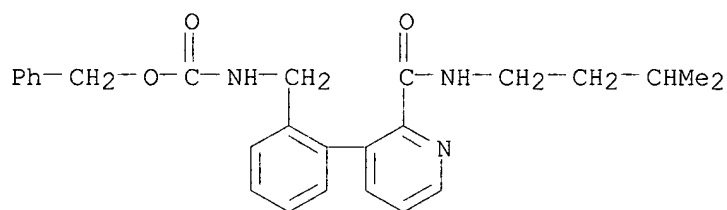
RN 434319-82-1 HCAPLUS

CN Carbamic acid, [[2-[2-[[[(3-methylbutyl)amino]carbonyl]-3-pyridinyl]phenyl]methyl]-, phenylmethyl ester, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 434319-81-0

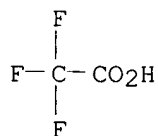
CMF C26 H29 N3 O3



CM 2

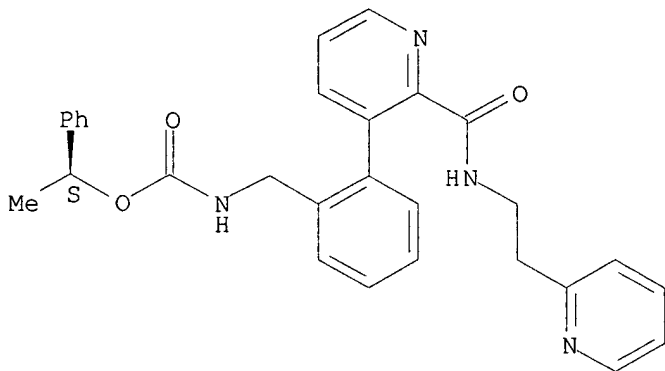
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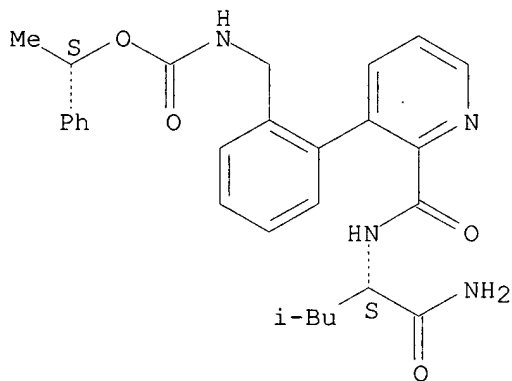
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 CN Carbamic acid, [[2-[2-[[[2-(2-pyridinyl)ethyl]amino]carbonyl]-3-pyridinyl]phenyl]methyl]-, (1S)-1-phenylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



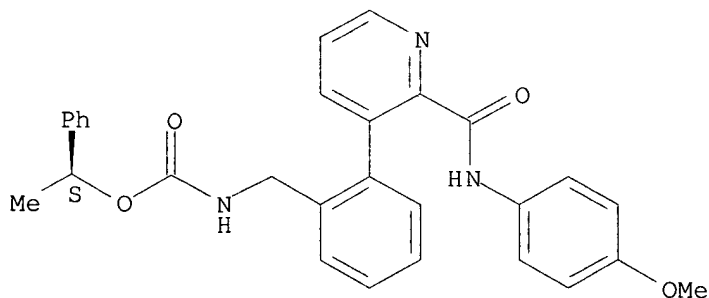
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Absolute stereochemistry.



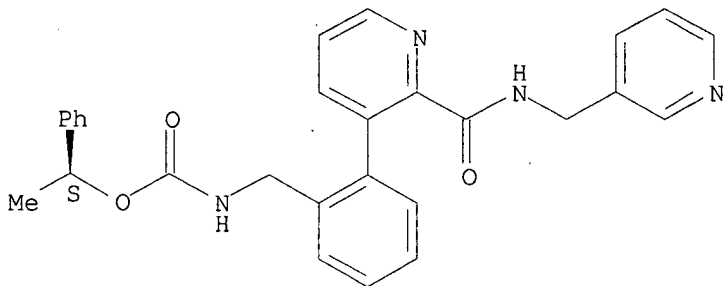
RN 434319-86-5 HCAPLUS
 CN Carbamic acid, [[2-[2-[[[(4-methoxyphenyl)amino]carbonyl]-3-pyridinyl]phenyl]methyl]-, (1S)-1-phenylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



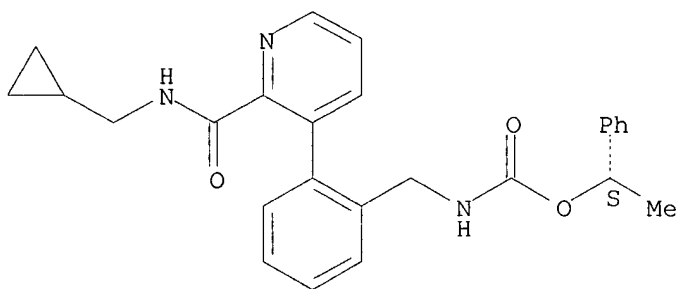
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Absolute stereochemistry.



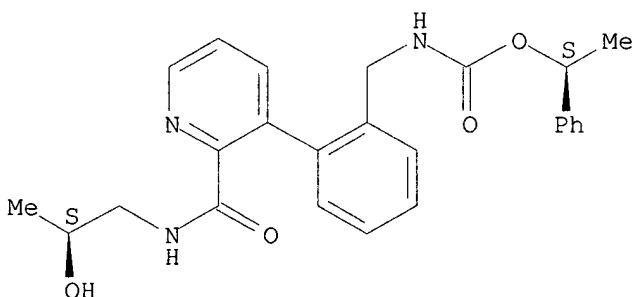
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Absolute stereochemistry.



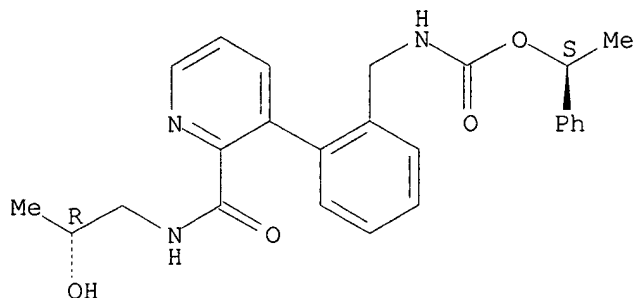
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Absolute stereochemistry.

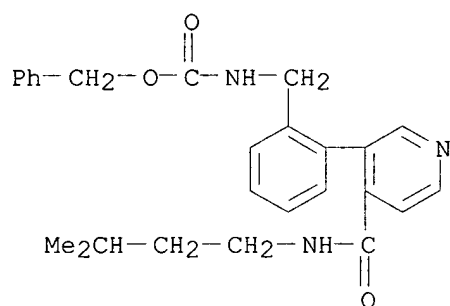


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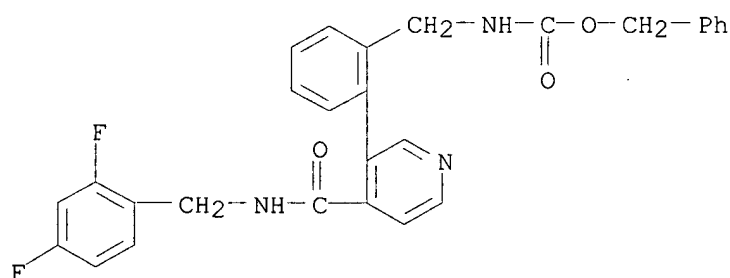
Absolute stereochemistry.



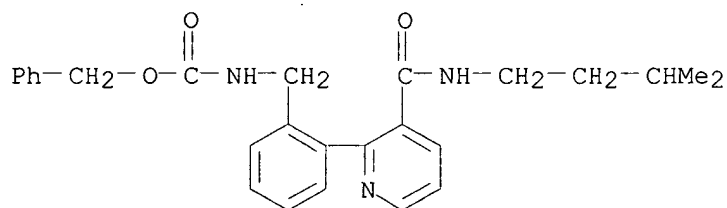
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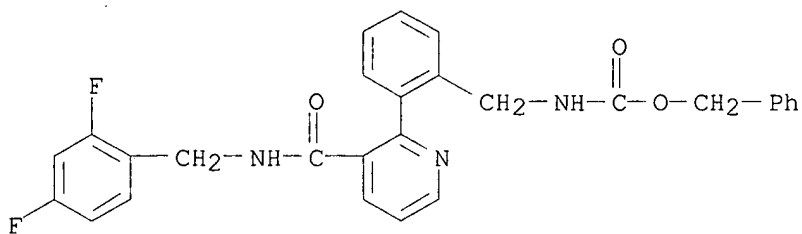
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 CN Carbamic acid, [[2-[4-[[[(2,4-difluorophenyl)methyl]amino]carbonyl]-3-pyridinyl]phenyl]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



RN 434319-93-4 HCAPLUS
 CN Carbamic acid, [[2-[3-[[[(3-methylbutyl)amino]carbonyl]-2-pyridinyl]phenyl]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

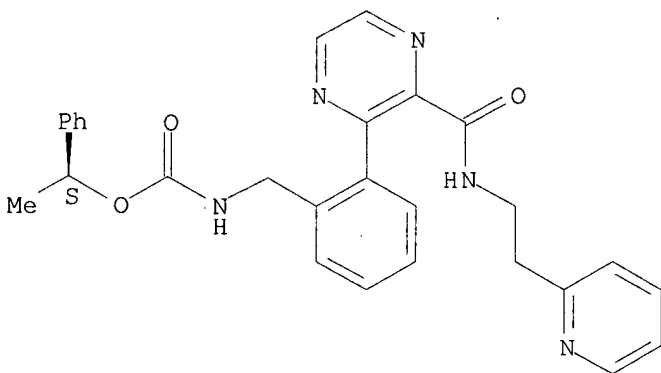


RN 434319-94-5 HCAPLUS
 CN Carbamic acid, [[2-[3-[[[(2,4-difluorophenyl)methyl]amino]carbonyl]-2-pyridinyl]phenyl]methyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)



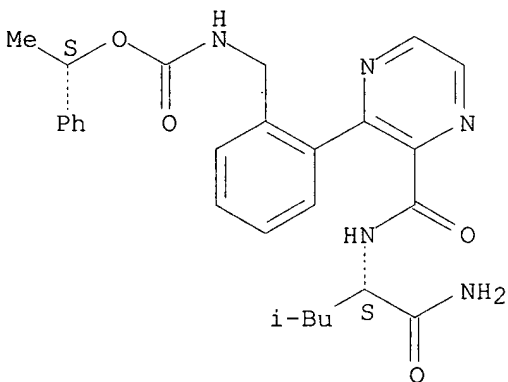
RN 434319-95-6 HCAPLUS
 CN Carbamic acid, [[2-[3-[[[2-(2-pyridinyl)ethyl]amino]carbonyl]pyrazinyl]phenyl]methyl]-, (1S)-1-phenylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



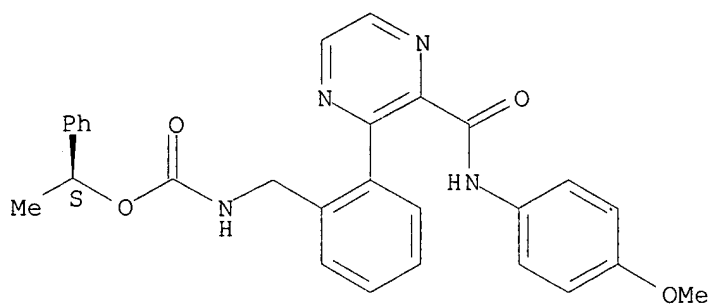
RN 434319-96-7 HCAPLUS
 CN Carbamic acid, [[2-[3-[[[(1S)-1-(aminocarbonyl)-3-methylbutyl]amino]carbonyl]pyrazinyl]phenyl]methyl]-, (1S)-1-phenylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 434319-97-8 HCAPLUS
 CN Carbamic acid, [[2-[3-[[[(4-methoxyphenyl)amino]carbonyl]pyrazinyl]phenyl]methyl]-, (1S)-1-phenylethyl ester (9CI) (CA INDEX NAME)

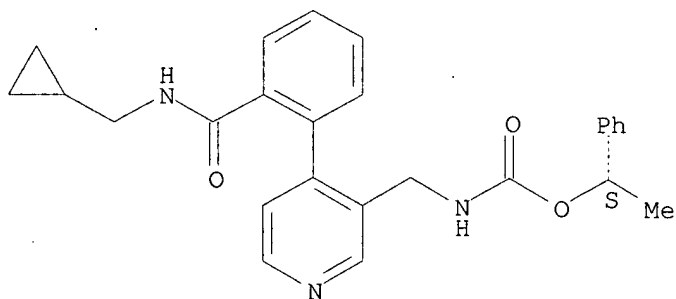
Absolute stereochemistry.



RN 434319-98-9 HCAPLUS

CN Carbamic acid, [[4-[2-[[[(cyclopropylmethyl)amino]carbonyl]phenyl]-3-pyridinyl]methyl]-, (1S)-1-phenylethyl ester (9CI) (CA INDEX NAME)

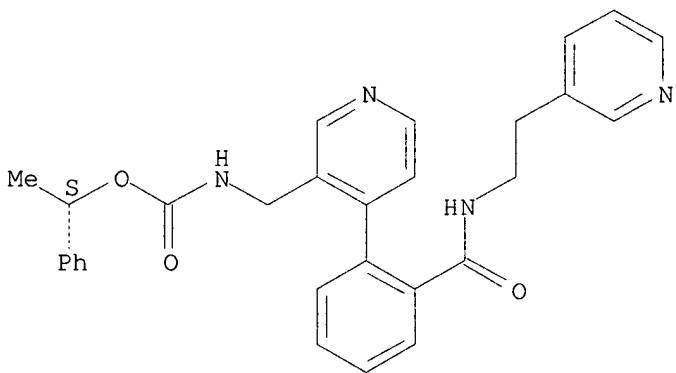
Absolute stereochemistry.



RN 434319-99-0 HCAPLUS

CN Carbamic acid, [[4-[2-[[[2-(3-pyridinyl)ethyl]amino]carbonyl]phenyl]-3-pyridinyl]methyl]-, (1S)-1-phenylethyl ester (9CI) (CA INDEX NAME)

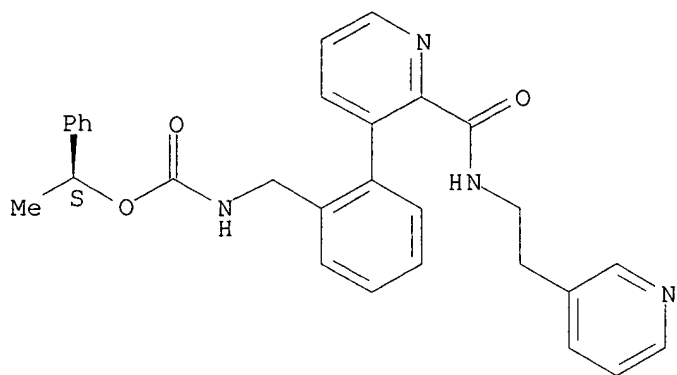
Absolute stereochemistry.



RN 434320-00-0 HCAPLUS

CN Carbamic acid, [[2-[2-[[[2-(3-pyridinyl)ethyl]amino]carbonyl]-3-pyridinyl]phenyl]methyl]-, (1S)-1-phenylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

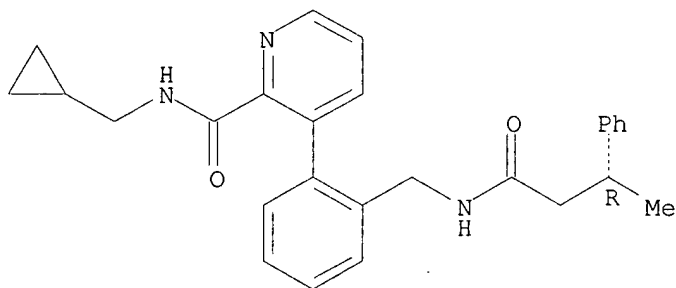


RN 434320-02-2 HCAPLUS
 CN 2-Pyridinecarboxamide, N-(cyclopropylmethyl)-3-[2-[[[(3R)-1-oxo-3-phenylbutyl]amino]methyl]phenyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

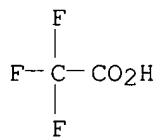
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 CMF C27 H29 N3 O2

Absolute stereochemistry.

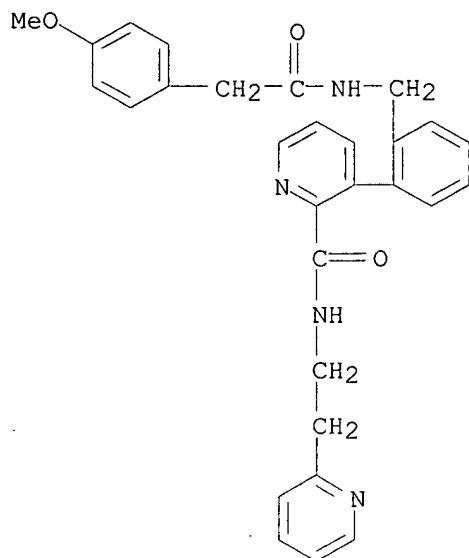


CM 2

CRN 76-05-1
 CMF C2 H F3 O2

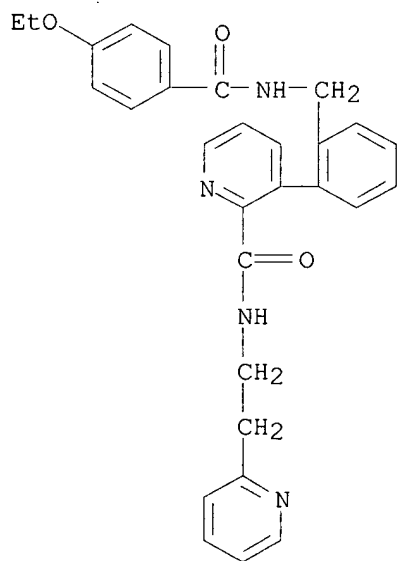


RN 434320-04-4 HCAPLUS
 CN 2-Pyridinecarboxamide, 3-[2-[[[(4-methoxyphenyl)acetyl]amino]methyl]phenyl]-N-[2-(2-pyridinyl)ethyl]- (9CI) (CA INDEX NAME)



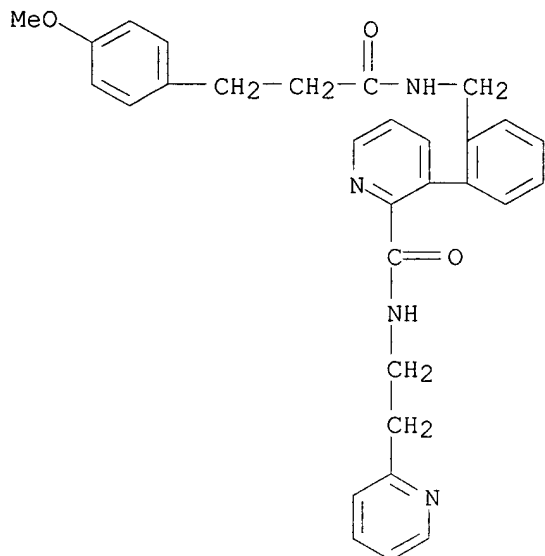
RN 434320-05-5 HCAPLUS

CN 2-Pyridinecarboxamide, 3-[2-[[[4-ethoxybenzoyl]amino]methyl]phenyl]-N-[2-(2-pyridinyl)ethyl]- (9CI) (CA INDEX NAME)



RN 434320-06-6 HCAPLUS

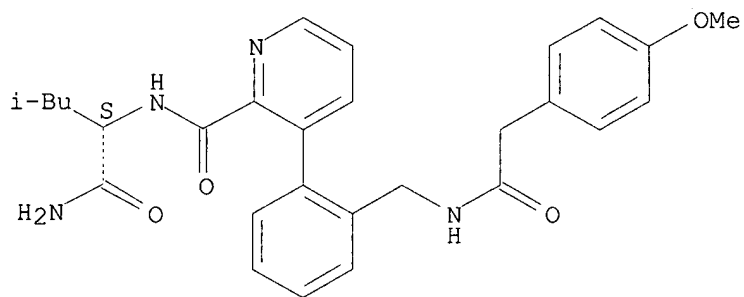
CN 2-Pyridinecarboxamide, 3-[2-[[[3-(4-methoxyphenyl)-1-oxopropyl]amino]methyl]phenyl]-N-[2-(2-pyridinyl)ethyl]- (9CI) (CA INDEX NAME)



RN 434320-07-7 HCAPLUS

CN 2-Pyridinecarboxamide, N-[(1S)-1-(aminocarbonyl)-3-methylbutyl]-3-[2-[[[(4-methoxyphenyl)acetyl]amino]methyl]phenyl]- (9CI) (CA INDEX NAME)

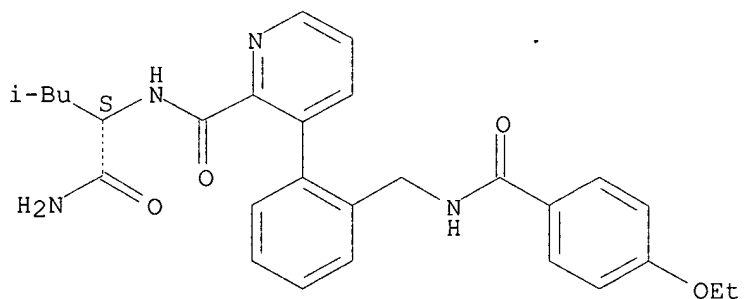
Absolute stereochemistry.



RN 434320-08-8 HCAPLUS

CN 2-Pyridinecarboxamide, N-[(1S)-1-(aminocarbonyl)-3-methylbutyl]-3-[2-[[[(4-ethoxybenzoyl)amino]methyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

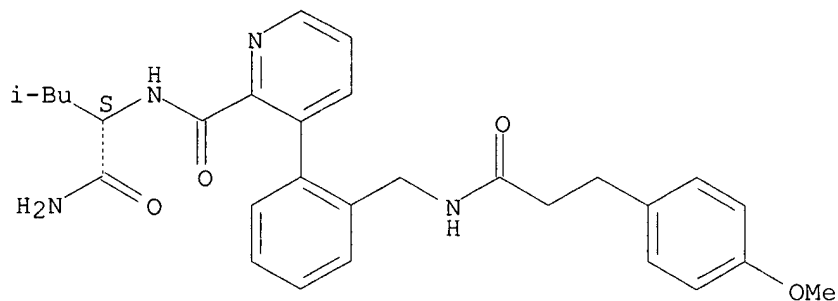


RN 434320-09-9 HCAPLUS

CN 2-Pyridinecarboxamide, N-[(1S)-1-(aminocarbonyl)-3-methylbutyl]-3-[2-[[[3-(4-ethoxyphenyl)propyl]amino]methyl]phenyl]- (9CI) (CA INDEX NAME)

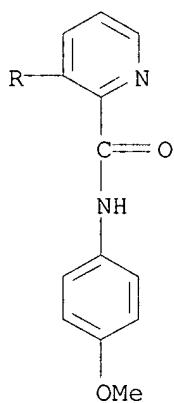
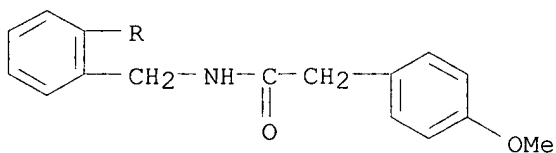
(4-methoxyphenyl)-1-oxopropyl]amino]methyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



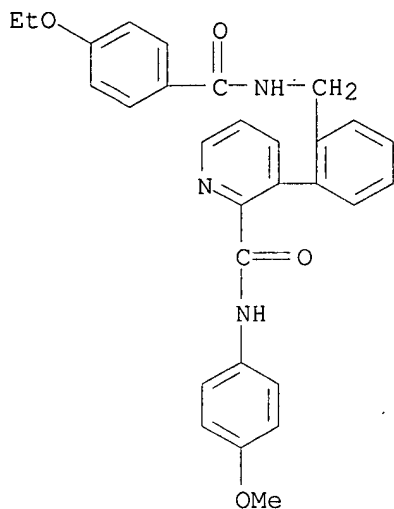
RN 434320-10-2 HCAPLUS

CN 2-Pyridinecarboxamide, N-(4-methoxyphenyl)-3-[2-[[[(4-methoxyphenyl)acetyl]amino]methyl]phenyl]- (9CI) (CA INDEX NAME)

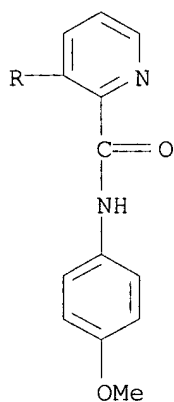
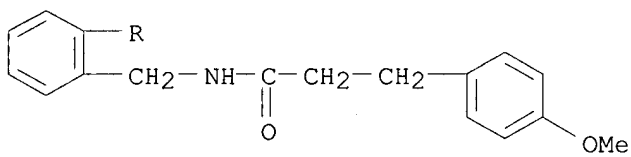


RN 434320-11-3 HCAPLUS

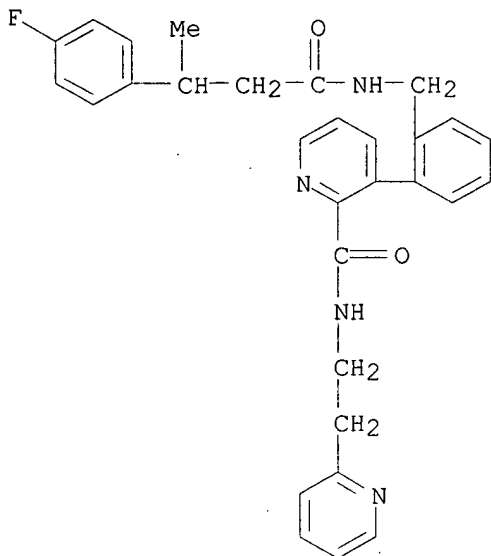
CN 2-Pyridinecarboxamide, 3-[2-[[[(4-ethoxybenzoyl)amino]methyl]phenyl]-N-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)



RN 434320-12-4 HCAPLUS
 CN 2-Pyridinecarboxamide, N-(4-methoxyphenyl)-3-[2-[[[3-(4-methoxyphenyl)-1-oxopropyl]amino]methyl]phenyl]- (9CI) (CA INDEX NAME)

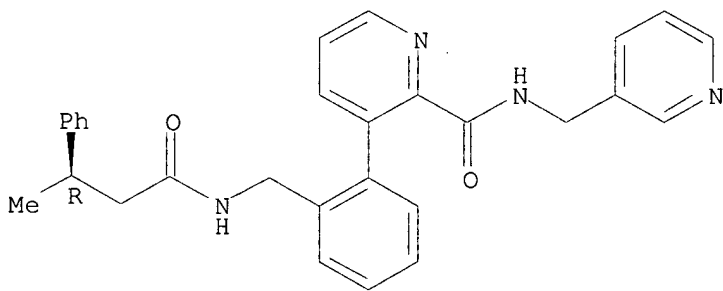


RN 434320-13-5 HCAPLUS
 CN 2-Pyridinecarboxamide, 3-[2-[[[3-(4-fluorophenyl)-1-oxobutyl]amino]methyl]phenyl]-N-[2-(2-pyridinyl)ethyl]- (9CI) (CA INDEX NAME)

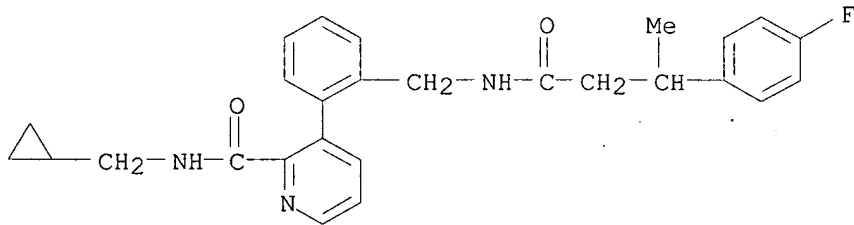


RN 434320-14-6 HCAPLUS
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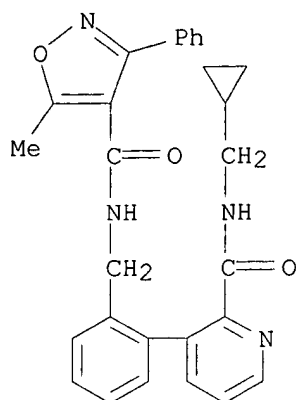
Absolute stereochemistry.



RN 434320-15-7 HCAPLUS
 CN 2-Pyridinecarboxamide, N-(cyclopropylmethyl)-3-[2-[[[3-(4-fluorophenyl)-1-oxobutyl]amino]methyl]phenyl]- (9CI) (CA INDEX NAME)



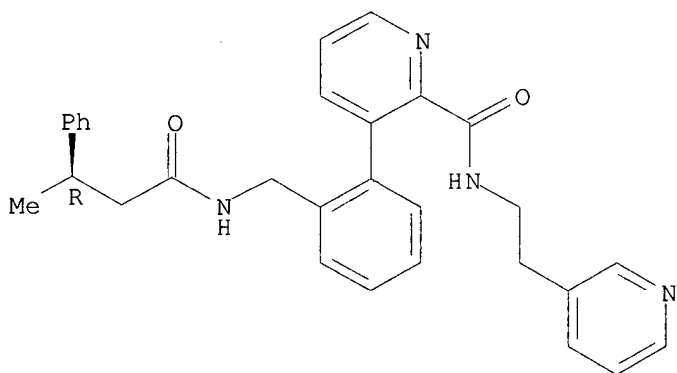
RN 434320-16-8 HCAPLUS
 CN 2-Pyridinecarboxamide, N-(cyclopropylmethyl)-3-[2-[[[(5-methyl-3-phenyl-4-isoxazolyl)carbonyl]amino]methyl]phenyl]- (9CI) (CA INDEX NAME)



RN 434320-17-9 HCAPLUS

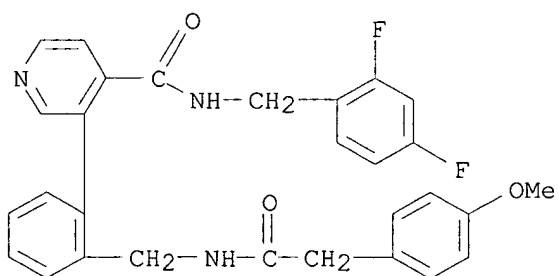
CN 2-Pyridinecarboxamide, 3-[2-[[[(3R)-1-oxo-3-phenylbutyl]amino]methyl]phenyl]-N-[2-(3-pyridinyl)ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 434320-18-0 HCAPLUS

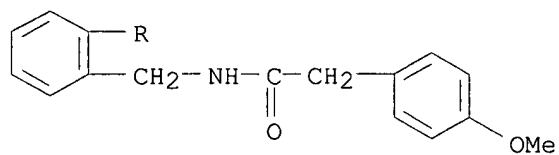
CN 4-Pyridinecarboxamide, N-[(2,4-difluorophenyl)methyl]-3-[2-[[[(4-methoxyphenyl)acetyl]amino]methyl]phenyl]- (9CI) (CA INDEX NAME)



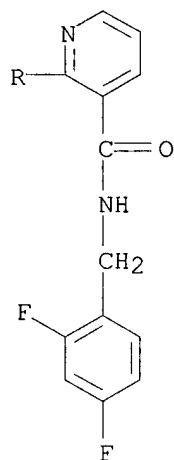
RN 434320-19-1 HCAPLUS

CN 3-Pyridinecarboxamide, N-[(2,4-difluorophenyl)methyl]-2-[2-[[[(4-methoxyphenyl)acetyl]amino]methyl]phenyl]- (9CI) (CA INDEX NAME)

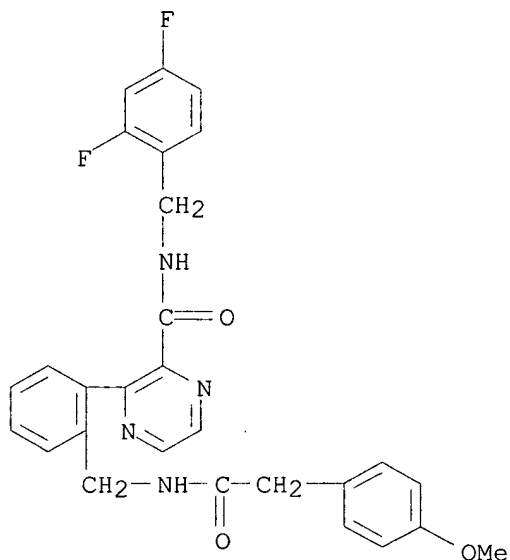
PAGE 1-A



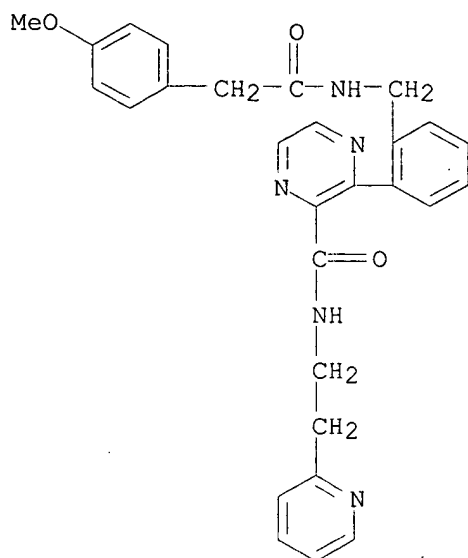
PAGE 2-A



RN 434320-20-4 HCAPLUS
 CN Pyrazinecarboxamide, N-[(2,4-difluorophenyl)methyl]-3-[2-[[[(4-methoxyphenyl)acetyl]amino]methyl]phenyl]- (9CI) (CA INDEX NAME)

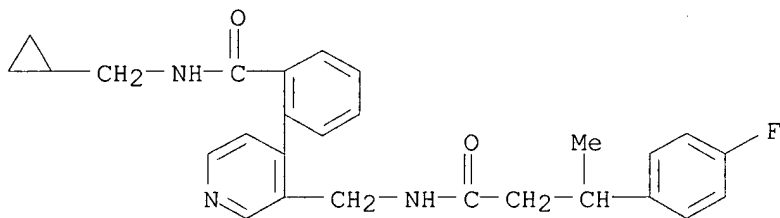


RN 434320-21-5 HCAPLUS
 CN Pyrazinecarboxamide, 3-[2-[[[(4-methoxyphenyl)acetyl]amino]methyl]phenyl]-N-[2-(2-pyridinyl)ethyl]- (9CI) (CA INDEX NAME)



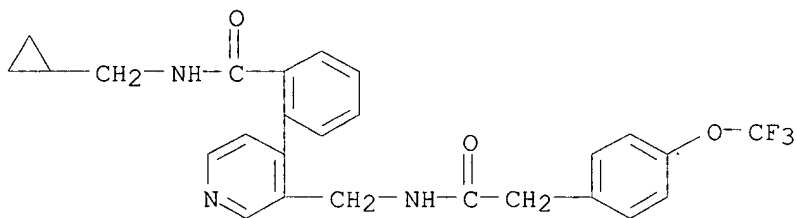
RN 434320-22-6 HCAPLUS

CN Benzenepropanamide, N-[[4-[2-[[[(cyclopropylmethyl)amino]carbonyl]phenyl]-3-pyridinyl]methyl]-4-fluoro-.beta.-methyl- (9CI) (CA INDEX NAME)



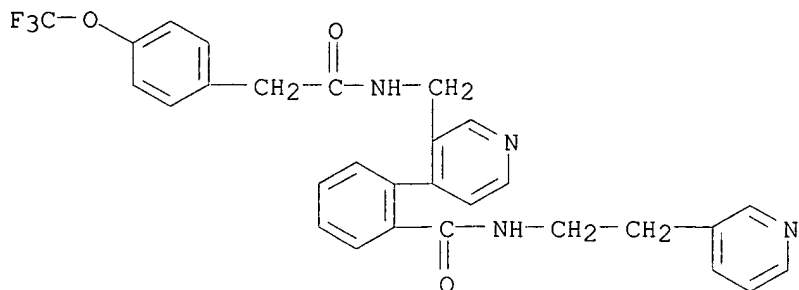
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CN Benzeneacetamide, N-[[4-[2-[[[(cyclopropylmethyl)amino]carbonyl]phenyl]-3-pyridinyl]methyl]-4-(trifluoromethoxy)- (9CI) (CA INDEX NAME)

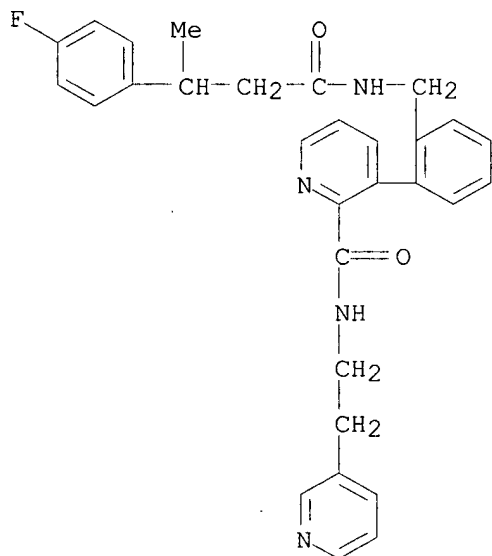


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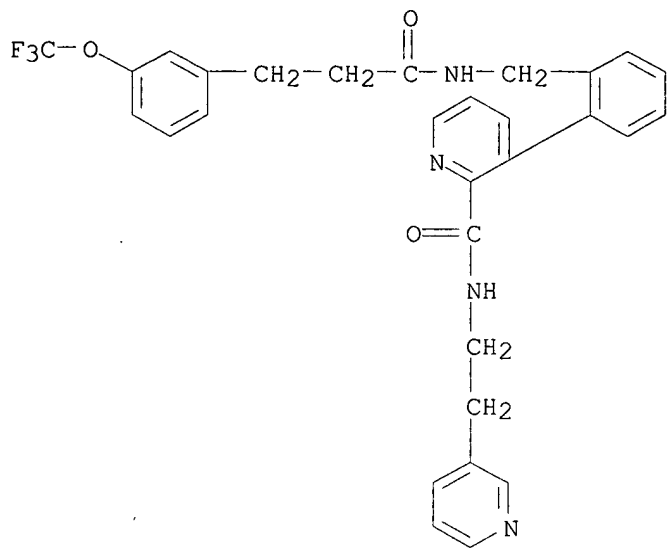
CN Benzeneacetamide, N-[[4-[2-[[[2-(3-pyridinyl)ethyl]amino]carbonyl]phenyl]-3-pyridinyl]methyl]-4-(trifluoromethoxy)- (9CI) (CA INDEX NAME)



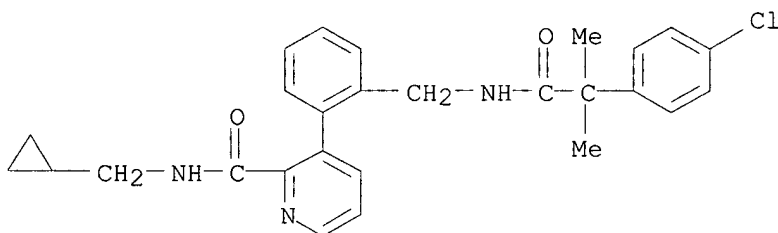
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 CN 2-Pyridinecarboxamide, 3-[2-[[[3-(4-fluorophenyl)-1-oxobutyl]amino]methyl]phenyl]-N-[2-(3-pyridinyl)ethyl]- (9CI) (CA INDEX NAME)



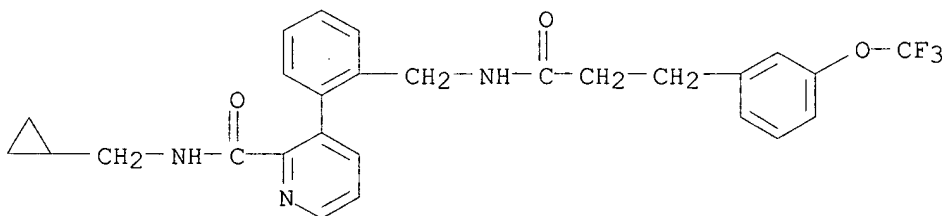
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 CN 2-Pyridinecarboxamide, 3-[2-[[[1-oxo-3-[3-(trifluoromethoxy)phenyl]propyl]amino]methyl]phenyl]-N-[2-(3-pyridinyl)ethyl]- (9CI) (CA INDEX NAME)



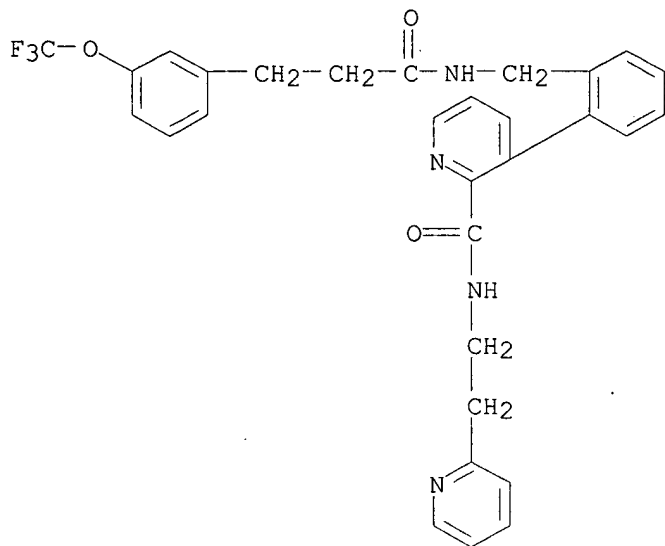
RN 434320-27-1 HCAPLUS
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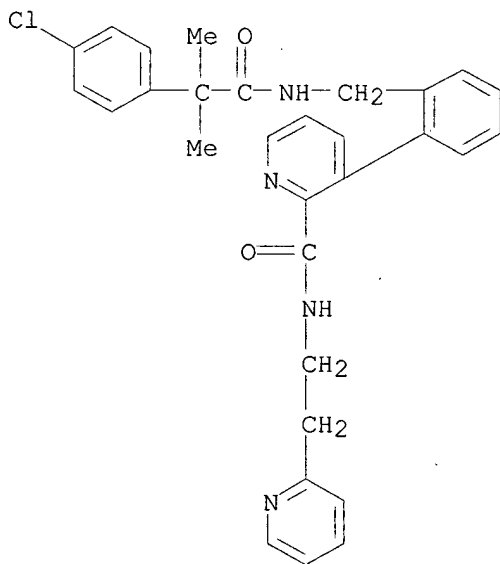
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 CN 2-Pyridinecarboxamide, N-(cyclopropylmethyl)-3-[2-[[[1-oxo-3-[3-(trifluoromethoxy)phenyl]propyl]amino]methyl]phenyl]- (9CI) (CA INDEX NAME)



RN 434320-29-3 HCAPLUS
 CN 2-Pyridinecarboxamide, 3-[2-[[[1-oxo-3-[3-(trifluoromethoxy)phenyl]propyl]amino]methyl]phenyl]-N-[2-(2-pyridinyl)ethyl]- (9CI) (CA INDEX NAME)

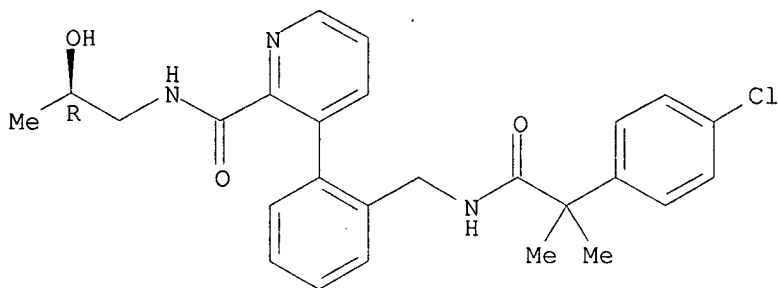


RN 434320-30-6 HCAPLUS
 CN 2-Pyridinecarboxamide, 3-[2-[[[2-(4-chlorophenyl)-2-methyl-1-oxopropyl]amino]methyl]phenyl]-N-[2-(2-pyridinyl)ethyl]- (9CI) (CA INDEX NAME)



RN 434320-31-7 HCAPLUS
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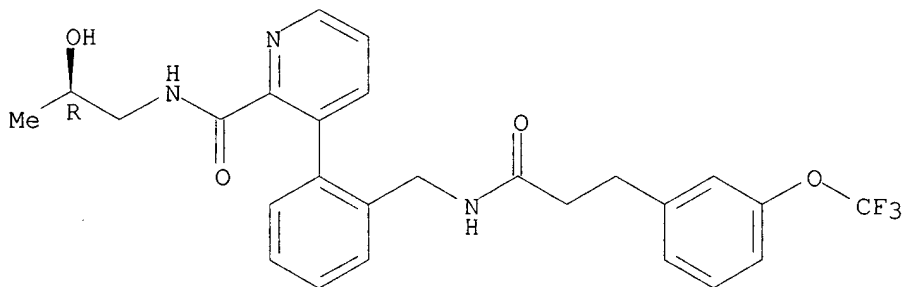
Absolute stereochemistry.



RN 434320-32-8 HCAPLUS

CN 2-Pyridinecarboxamide, N-[(2R)-2-hydroxypropyl]-3-[2-[[[1-oxo-3-[3-(trifluoromethoxy)phenyl]propyl]amino]methyl]phenyl]- (9CI) (CA INDEX NAME)

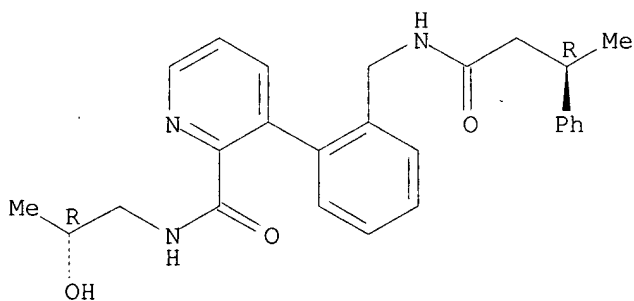
Absolute stereochemistry.



RN 434320-33-9 HCAPLUS

CN 2-Pyridinecarboxamide, N-[(2R)-2-hydroxypropyl]-3-[2-[[[1-oxo-3-[3-(trifluoromethoxy)phenyl]propyl]amino]methyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT:

2

THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT